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NEWS 3 AUG 18 COMPENDEX indexing changed for the Corporate Source (CS) field
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NEWS 5 AUG 24 CA/Caplus enhanced with legal status information for U.S. patents
NEWS 6 SEP 09 50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS 7 SEP 11 WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS 8 OCT 21 Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS 9 OCT 21 Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS 10 NOV 23 Addition of SCAN format to selected STN databases
NEWS 11 NOV 23 Annual Reload of IFI Databases
NEWS 12 DEC 01 FRFULL Content and Search Enhancements
NEWS 13 DEC 01 DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS 14 DEC 02 Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS 15 DEC 02 PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS 16 DEC 02 USGENE: Enhanced coverage of bibliographic and sequence information
NEWS 17 DEC 21 New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/Caplus
NEWS 18 JAN 12 Match STN Content and Features to Your Information Needs, Quickly and Conveniently

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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=> fil reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.22	0.22

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STRUCTURE FILE UPDATES: 14 JAN 2010 HIGHEST RN 1202352-24-6
DICTIONARY FILE UPDATES: 14 JAN 2010 HIGHEST RN 1202352-24-6

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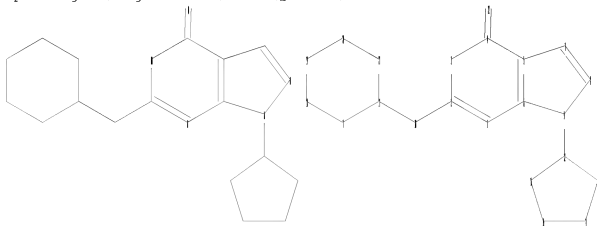
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>
Uploading C:\Program Files\STNEXP\Queries\10525119 formula I.str



chain nodes :
10 22
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15 16 17 18 19 20 21
chain bonds :

```

2-10  4-22  9-12  10-11
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9  11-17  11-21  12-13  12-16  13-14
 14-15  15-16  17-18  18-19  19-20  20-21
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  4-22  5-6  5-7  6-9  7-8  8-9  9-12  11-17  11-21  12-13
12-16  13-14  14-15  15-16  17-18  18-19  19-20  20-21
exact bonds :
2-10  10-11

```

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:CLASS

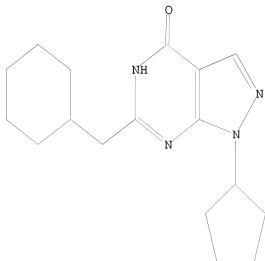
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L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

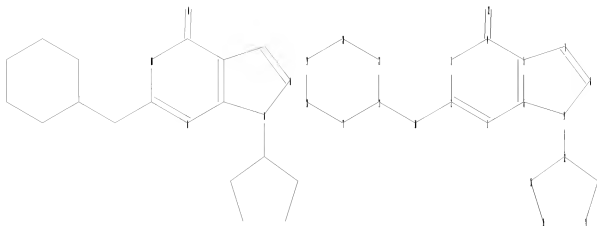
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=>

Uploading C:\Program Files\STNEXP\Queries\10525119 formula II.str



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chain nodes :
10 12 13 14 15 16 22
ring nodes :
1 2 3 4 5 6 7 8 9 11 17 18 19 20 21
chain bonds :
2-10 4-22 9-12 10-11 12-13 12-16 13-14 15-16
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 11-17 11-21 17-18 18-19 19-20
20-21
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 4-22 5-6 5-7 6-9 7-8 8-9 9-12 11-17 11-21 17-18
18-19 19-20 20-21
exact bonds :
2-10 10-11 12-13 12-16 13-14 15-16

```

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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:CLASS

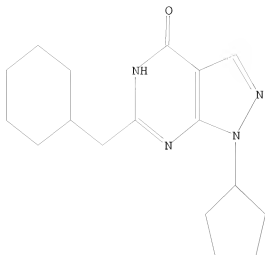
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L2 STRUCTURE UPLOADED

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=> d l2
L2 HAS NO ANSWERS
L2                STR

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Structure attributes must be viewed using STN Express query preparation.

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=> s l1 or l2 sss ful
FULL SEARCH INITIATED 13:41:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      3552 TO ITERATE
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100.0% PROCESSED      3552 ITERATIONS      123 ANSWERS
SEARCH TIME: 00.00.01
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```
L3      123 SEA SSS FUL L1 OR L2
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=> fil cap
COST IN U.S. DOLLARS      SINCE FILE      TOTAL
                           ENTRY      SESSION
FULL ESTIMATED COST      291.84      292.06
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FILE 'CAPLUS' ENTERED AT 13:41:19 ON 15 JAN 2010
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FILE COVERS 1907 - 15 Jan 2010 VOL 152 ISS 4
FILE LAST UPDATED: 14 Jan 2010 (20100114/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009
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Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 13 L3

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2009:1426724 CAPLUS

DOCUMENT NUMBER: 152:57262

TITLE: Identification of a Brain Penetrant PDE9A Inhibitor Utilizing Prospective Design and Chemical Enablement as a Rapid Lead Optimization Strategy

AUTHOR(S): Verhoest, Patrick R.; Proulx-Lafrance, Caroline; Corman, Michael; Chenard, Lois; Helal, Christopher J.; Hou, Xinjun; Kleiman, Robin; Liu, Shenping; Marr, Eric; Menniti, Frank S.; Schmidt, Christopher J.; Vanase-Frawley, Michelle; Schmidt, Anne W.; Williams, Robert D.; Nelson, Frederick R.; Fonseca, Kari R.; Liras, Spiros

CORPORATE SOURCE: Neuroscience Chemistry, Pfizer Global Research and Development, Groton, CT, 06340, USA

SOURCE: Journal of Medicinal Chemistry (2009), 52(24), 7946-7949

CODEN: JMCMAR; ISSN: 0022-2623

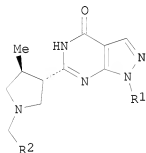
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 152:57262

GI



I

AB A novel series of selective, brain penetrant PDE9A inhibitors I (R1 = i-Pr, i-Bu, cyclopentyl, R2 = Ph; R1 = cyclopentyl, R2 = 3-MeC6H4, 2-pyridyl, 6-quinoxaliny, etc.) has been identified that are capable of producing in vivo elevations of brain cGMP.

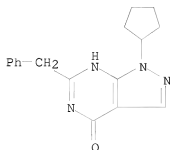
IT 97433-46-0

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(mol. modeling; synthesis and biol. evaluation of (pyrrolidinyl)pyrazolopyrimidinones as PDE9A inhibitors)

RN 97433-46-0 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-1,5-dihydro-6-(phenylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2010 ACS ON STN
 ACCESSION NUMBER: 2005:216706 CAPLUS
 DOCUMENT NUMBER: 142:274026
 TITLE: pulmonary surfactant and pde2 inhibitor combinations for treatment of pulmonary diseases
 INVENTOR(S): Wollin, Stefan-Lutz
 PATENT ASSIGNEE(S): Altana Pharma AG, Germany
 SOURCE: PCT Int. Appl., 29 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021037	A1	20050310	WO 2004-EP51948	20040827
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004268387	A1	20050310	AU 2004-268387	20040827
CA 2536458	A1	20050310	CA 2004-2536458	20040827
EP 1660132	A1	20060531	EP 2004-786242	20040827
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
JP 2007504117	T	20070301	JP 2006-524370	20040827
US 20060229242	A1	20061012	US 2006-568817	20060221
PRIORITY APPLN. INFO.:			EP 2003-19447	A 20030828
			WO 2004-EP51948	W 20040827

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention relates to the combined administration of a pulmonary surfactant and a PDE2 inhibitor for the treatment of a disease in which pulmonary surfactant malfunction and/or phosphodiesterase 2 (PDE2) activity is detrimental. The invention discloses pharmaceutical compns.

comprised of PDE2 inhibitors in combination with pulmonary surfactants for the treatment of lung diseases and injuries.

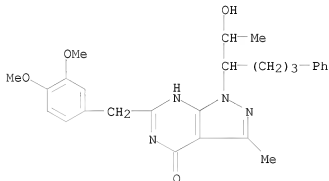
IT 213324-52-8 847472-03-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pulmonary surfactant and PDE2 inhibitor combinations for treatment of pulmonary diseases)

RN 213324-52-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-3-methyl- (CA INDEX NAME)



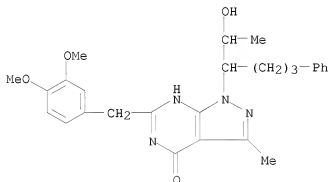
RN 847472-03-1 CAPLUS

CN 2-35-Lipoprotein SP-C, 5-L-phenylalanine-6-L-phenylalanine-33-L-isoleucine-, mixt. with 6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-3-methyl-4H-pyrazolo[3,4-d]pyrimidin-4-one (9CI) (CA INDEX NAME)

CM 1

CRN 213324-52-8

CMF C27 H32 N4 O4



CM 2

CRN 200074-80-2

CMF C182 H310 N40 O35

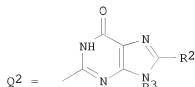
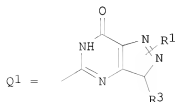
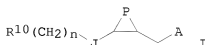
CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2004:934326 CAPLUS
 DOCUMENT NUMBER: 141:395571
 TITLE: Preparation of pyrazolopyrimidinones as phosphodiesterase 9 (PDE9) inhibitors for treating type 2 diabetes, metabolic syndrome, and cardiovascular disease.
 INVENTOR(S): Bell, Andrew Simon; Deninno, Michael Paul; Palmer, Michael John; Visser, Michael Scott
 PATENT ASSIGNEE(S): Pfizer Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 26 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040220186	A1	20041104	US 2004-828485	20040420
WO 2004096811	A1	20041111	WO 2004-IB1796	20040421
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
NL 1026091	A1	20041102	NL 2004-1026091	20040429
NL 1026091	C2	20050526		
PRIORITY APPLN. INFO.:			US 2003-466639P	P 20030430
			US 2004-828485	A 20040420
OTHER SOURCE(S):			MARPAT 141:395571	
GI				



AB Title compds. [I; A = Q1, Q2, etc.; P = atoms to form (substituted) cycloalkyl, heterocycloalkyl, aryl, heteroaryl rings; J = O, S, NR15,

NR15CO, NR15SO2; R10 = CO2H, CONR3OR31, NR15SO2R40; R1, R2, R15 = H, alkyl; R3 = alkyl, cycloalkyl, cycloalkylmethyl, heterocycloalkyl, heterocycloalkylmethyl, aryl, heteroaryl; R30, R31 = H, (substituted) alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; R3OR31N = (substituted) 5-8 membered heterocyclyl; R40 = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl; n = 1-3], were prepared Thus, Et 1-[[2-(3-isopropyl-7-oxo-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-5-ylmethyl)phenoxy]acetyl]pyrrolidine-2-carboxylate was heated with aqueous NaOH in MeOH for 2 h at 58° to give after acidification with HCl 1-[[2-(3-isopropyl-7-oxo-6,7-dihydro-1H-pyrazolo[4,3-d]pyrimidin-5-ylmethyl)phenoxy]acetyl]pyrrolidine-2-carboxylic acid. Some compds. inhibited PDE9 with IC50 <50 nM.

IT	787618-74-0P	787618-76-2P	787618-84-2P
	787618-85-3P	787618-86-4P	787618-87-5P
	787618-88-6P	787618-89-7P	787618-90-0P
	787618-92-2P	787618-97-7P	787619-14-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of pyrazolopyrimidinones as PDE9 inhibitors

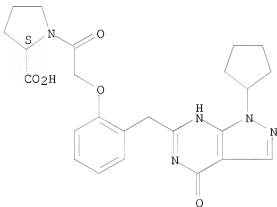
for

treating type 2 diabetes, metabolic syndrome, and cardiovascular disease)

RN 787618-74-0 CAPLUS

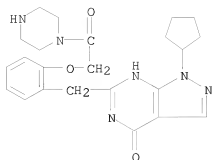
CN L-Proline, 1-[[2-[(1-cyclopentyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 787618-76-2 CAPLUS

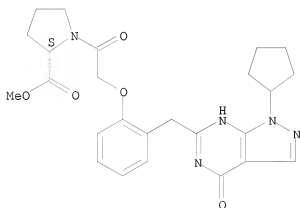
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[[2-[2-oxo-2-(1-piperazinyl)ethoxy]phenyl]methyl]- (CA INDEX NAME)



RN 787618-84-2 CAPLUS

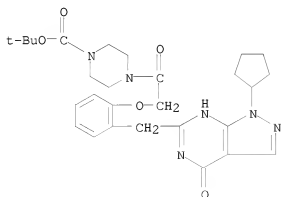
CN L-Proline, 1-[[2-[(1-cyclopentyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 787618-85-3 CAPLUS

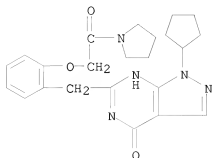
CN 1-Piperazinecarboxylic acid, 4-[2-[2-[(1-cyclopentyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]acetyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 787618-86-4 CAPLUS

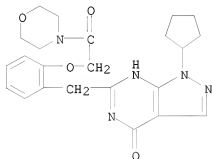
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-1,5-dihydro-6-[[2-[2-oxo-2-(1-

pyrrolidinyl)ethoxy]phenyl)methyl]- (CA INDEX NAME)



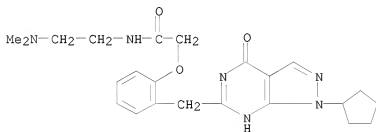
RN 787618-87-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-1,5-dihydro-6-[[2-[2-(4-morpholinyl)-2-oxoethoxy]phenyl)methyl]- (CA INDEX NAME)



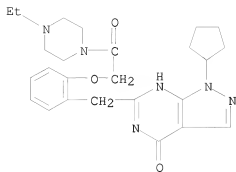
RN 787618-88-6 CAPLUS

CN Acetamide, 2-[2-[(1-cyclopentyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]-N-[2-(dimethylamino)ethyl]- (CA INDEX NAME)



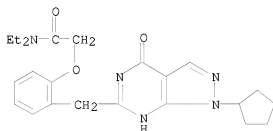
RN 787618-89-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-1,5-dihydro-6-[[2-[2-(4-ethyl-1-piperazinyl)-2-oxoethoxy]phenyl)methyl]- (CA INDEX NAME)



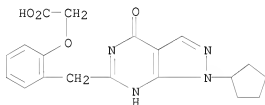
RN 787618-90-0 CAPLUS

CN Acetamide, 2-[2-[(1-cyclopentyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]-N,N-diethyl- (CA INDEX NAME)



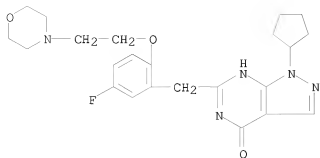
RN 787618-92-2 CAPLUS

CN Acetic acid, 2-[2-[(1-cyclopentyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]- (CA INDEX NAME)

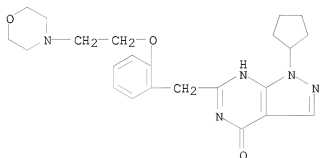


RN 787618-97-7 CAPLUS

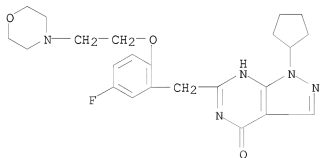
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-6-[(5-fluoro-2-[2-(4-morpholinyl)ethoxy]phenyl)methyl]-1,5-dihydro- (CA INDEX NAME)



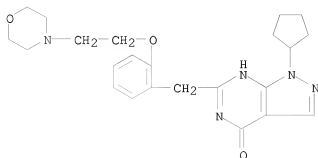
RN 787619-14-1 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-1,5-dihydro-6-([2-(4-morpholinyl)ethoxy]phenyl)methyl-
 (CA INDEX NAME)



IT 787619-25-4P 787619-37-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of pyrazolopyrimidinones as PDE9 inhibitors for treating type 2
 diabetes, metabolic syndrome, and cardiovascular disease)
 RN 787619-25-4 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-6-([5-fluoro-2-[2-(4-morpholinyl)ethoxy]phenyl]methyl)-1,5-
 dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RN 787619-37-8 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-1,5-dihydro-6-[[2-[2-(4-morpholinyl)ethoxy]phenyl]methyl]-,
 hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:198173 CAPLUS

DOCUMENT NUMBER: 140:247085

TITLE: Selective phosphodiesterase 9A inhibitors for the
 improvement of cognitive processes

INVENTOR(S): Boss, Frank-Gerhard; Erb, Christina; Hendrix, Martin;
 Van Kampen, Marja; Wunder, Frank

PATENT ASSIGNEE(S): Bayer AG, Germany
 SOURCE: Ger. Offen., 17 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent
 LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238722	A1	20040311	DE 2002-10238722	20020823
CA 2496292	A1	20040401	CA 2003-2496292	20030811
WO 2004026286	A2	20040401	WO 2003-EP8880	20030811
WO 2004026286	A3	20040603		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003258597	A1	20040408	AU 2003-258597	20030811
EP 1534285	A2	20050601	EP 2003-797233	20030811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501272	T	20060112	JP 2004-536933	20030811

US 20060100222 A1 20060511 US 2005-525119 20051014
PRIORITY APPLN. INFO.: DE 2002-102387/22 A 20020823
WO 2003-EP8880 W 20030811

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

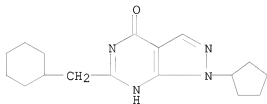
AB The invention discloses the use of selective phosphodiesterase 9A inhibitors for the production of drugs for the improvement of perception, concentration, cognitive processes, learning and/or memory. Preparation and activity of pyrazolopyrimidinone derivs. is included.

IT 667400-78-4P 667400-79-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(phosphodiesterase 9A inhibitors for improvement of cognitive processes)

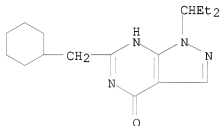
RN 667400-78-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-(cyclohexylmethyl)-1-cyclopentyl-1,5-dihydro- (CA INDEX NAME)



RN 667400-79-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-(cyclohexylmethyl)-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:182883 CAPLUS

DOCUMENT NUMBER: 140:217660

TITLE: Preparation of 6-benzylpyrazolo[3,4-d]pyrimidin-4-ones
as phosphodiesterase-9A (PDE9A) inhibitors.

INVENTOR(S): Hendrix, Martin; Boess, Frank-Gerhard; Burkhardt,
Nils; Erb, Christina; Tersteegen, Adrian; Van Kampen,
Marja

PATENT ASSIGNEE(S): Bayer Healthcare A.-G., Germany

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

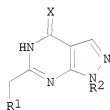
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018474	A1	20040304	WO 2003-EP8923	20030812
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10238723	A1	20040311	DE 2002-10238723	20020823
CA 2496194	A1	20040304	CA 2003-2496194	20030812
AU 2003258601	A1	20040311	AU 2003-258601	20030812
EP 1534711	A1	20050601	EP 2003-792301	20030812
EP 1534711	B1	20060419		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006507242	T	20060302	JP 2004-530129	20030812
ES 2263057	T3	20061201	ES 2003-792301	20030812
US 20060106035	A1	20060518	US 2005-525115	20050831
PRIORITY APPLN. INFO.:			DE 2002-10238723	A 20020823
			WO 2003-EP8923	W 20030812
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):		MARPAT 140:217660		
GI				



I

AB Title compds. (I; R1 = Ph substituted by 1-5 halo, alkyl, CF3, OCF3, cyano, OH, NO2, alkoxy; R2 = pentan-3-yl, C4-6 cycloalkyl; X = O, S), were prepared for improvement of perception, concentration, learning and/or memory

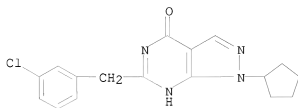
(no data). Thus, 5-amino-1-cyclopentyl-1H-pyrazole-4-carboxamide (preparation given) and Et 3-chlorophenylacetate in EtOH at 0° were treated slowly with NaH followed by slow warming and then 18 h reflux to give 81% 6-(3-chlorobenzyl)-1-cyclopentyl-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one.

IT 666235-19-4P	666235-20-7P	666235-21-8P
666235-22-9P	666235-23-0P	666235-24-1P
666235-25-2P	666235-26-3P	666235-27-4P
666235-28-5P	666235-29-6P	666235-30-9P
666235-32-1P		

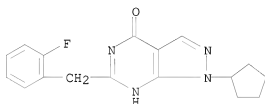
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzylpyrazolopyrimidones as phosphodiesterase-9A (PDE9A) inhibitors)

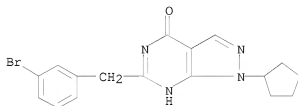
RN 666235-19-4 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-[(3-chlorophenyl)methyl]-1-cyclopentyl-1,5-dihydro- (CA INDEX NAME)



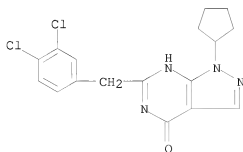
RN 666235-20-7 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-6-[(2-fluorophenyl)methyl]-1,5-dihydro- (CA INDEX NAME)



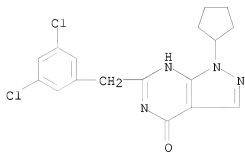
RN 666235-21-8 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-[(3-bromophenyl)methyl]-1-cyclopentyl-1,5-dihydro- (CA INDEX NAME)



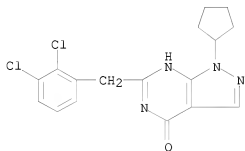
RN 666235-22-9 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-6-[(3,4-dichlorophenyl)methyl]-1,5-dihydro- (CA INDEX NAME)



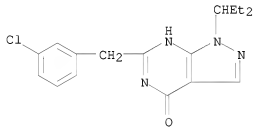
RN 666235-23-0 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-6-[(3,5-dichlorophenyl)methyl]-1,5-dihydro- (CA INDEX NAME)



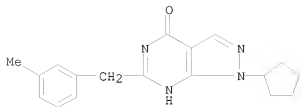
RN 666235-24-1 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-6-[(2,3-dichlorophenyl)methyl]-1,5-dihydro- (CA INDEX NAME)



RN 666235-25-2 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-[(3-chlorophenyl)methyl]-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)

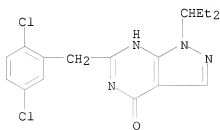


RN 666235-26-3 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-1,5-dihydro-6-[(3-methylphenyl)methyl]- (CA INDEX NAME)



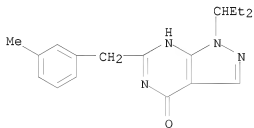
RN 666235-27-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(2,5-dichlorophenyl)methyl]-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)



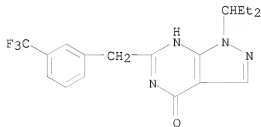
RN 666235-28-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-(1-ethylpropyl)-1,5-dihydro-6-[(3-methylphenyl)methyl]- (CA INDEX NAME)



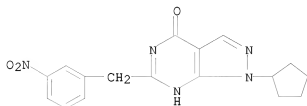
RN 666235-29-6 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-(1-ethylpropyl)-1,5-dihydro-6-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

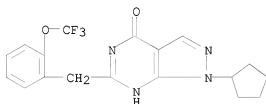


RN 666235-30-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-1,5-dihydro-6-[(3-nitrophenyl)methyl]- (CA INDEX NAME)



RN 666235-32-1 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-1,5-dihydro-6-[[2-(trifluoromethoxy)phenyl)methyl]- (CA
INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD
(9 CITINGS)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:177919 CAPLUS
DOCUMENT NUMBER: 140:235735
TITLE: Preparation of pyrazolopyrimidines as
phosphodiesterase PDE9A inhibitors.
INVENTOR(S): Hendrix, Martin; Boess, Frank-Gerhard; Burkhardt,
Nils; Erb, Christina; Tersteegen, Adrian; Van Kampen,
Marja
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 28 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10238724	A1	20040304	DE 2002-10238724	20020823
CA 2496308	A1	20040401	CA 2003-2496308	20030813
WO 2004026876	A1	20040401	WO 2003-EP8979	20030813

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

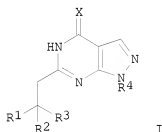
AU 2003251706	A1	20040408	AU 2003-251706	20030813
EP 1534713	A1	20050601	EP 2003-797239	20030813
EP 1534713	B1	20060111		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2006503051	T	20060126	JP 2004-536941	20030813
ES 2256797	T3	20060716	ES 2003-797239	20030813
US 20060111372	A1	20060525	US 2005-524956	20051215

PRIORITY APPLN. INFO.: DE 2002-102387/24 A 20020823
 WO 2003-EP8979 W 20030813

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 140:235735
 GI



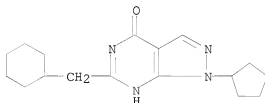
AB Title compds. [I; R1 = OH, (substituted) alkyl, alkoxy, CO2R5, CONR6R7; R5 = alkyl; R6, R7 = H, aryl, alkyl; NR6R7 = 4-10 membered heterocycle; R2 = H, alkyl, alkoxy; R3 = H, alkyl; R4 = pentan-3-yl, C4-6 cycloalkyl; X = O, S], were prepared. Thus, 5-amino-1-cyclopentyl-1H-pyrazole-4-carboxamide (preparation given), Me cyclohexylacetate, and NaH were refluxed 18 h in EtOH to give 31% 6-cyclohexylmethyl-1-cyclopentyl-1,5-dihydro-4H-pyrazolo[3,4-d]pyrimidin-4-one. The latter inhibited PDE9A with IC50 = 5 nM.

IT 667400-78-4P 667400-79-5P 667870-22-6P
 667870-23-7P

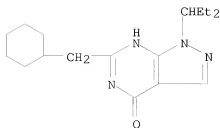
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as phosphodiesterase PDE9A inhibitors.)

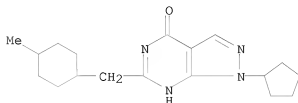
RN 667400-78-4 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-(cyclohexylmethyl)-1-cyclopentyl-1,5-dihydro- (CA INDEX NAME)



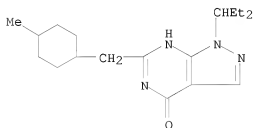
RN 667400-79-5 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-(cyclohexylmethyl)-1-(1-ethylpropyl)-1,5-dihydro- (CA INDEX NAME)



RN 667870-22-6 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-1,5-dihydro-6-[(4-methylcyclohexyl)methyl]- (CA INDEX NAME)



RN 667870-23-7 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-(1-ethylpropyl)-1,5-dihydro-6-[(4-methylcyclohexyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
 (3 CITINGS)

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2002:122770 CAPLUS
 DOCUMENT NUMBER: 136:178015
 TITLE: Drugs for incontinence - salified and nonsalified
 nitric oxide-donors and phosphodiesterase inhibitors
 INVENTOR(S): Del Soldato, Piero; Benedini, Francesca
 PATENT ASSIGNEE(S): Nicox S.A., Fr.
 SOURCE: PCT Int. Appl., 59 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011707	A2	20020214	WO 2001-EP8734	20010727

WO 2002011707 A3 20021205

W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

IT 2000MI1848 A1 20020208 IT 2000-MI1848 20000808

IT 1318674 B1 20030827

AU 2001091691 A 20020218 AU 2001-91691 20010727

EP 1307184 A2 20030507 EP 2001-971798 20010727

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004511436 T 20040415 JP 2002-517044 20010727

US 20030203899 A1 20031030 US 2003-343330 20030206

PRIORITY APPLN. INFO.: IT 2000-MI1848 A 20000808

WO 2001-EP8734 W 20010727

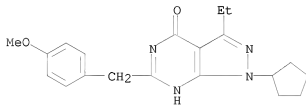
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 136:178015

AB Use in the incontinence of one or more of the following classes of drugs selected from the following: (B) salified and nonsalified nitric oxide-donor drugs, of formula: A - X1 - N(O)z, (B') nitrate salts of drugs used for the incontinence, and which do not contain in the mol. a nitric oxide donor group; (C) organic or inorg. salts of compds. inhibiting phosphodiesterases.

IT 182878-83-7
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (salified and nonsalified nitric oxide-donors and phosphodiesterase inhibitors for treatment of incontinence)

RN 182878-83-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER: 2002:122769 CAPLUS

DOCUMENT NUMBER: 136:189342

TITLE: Drugs for treatment of sexual dysfunction

INVENTOR(S): Del Soldato, Piero

PATENT ASSIGNEE(S): Nicox S.A., Fr.

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002011706	A2	20020214	WO 2001-EP8733	20010727
WO 2002011706	A3	20030918		
W:	AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, ZA			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
IT 2000MI1847	A1	20020208	IT 2000-MI1847	20000808
IT 1318673	B1	20030827		
AU 2001091690	A	20020218	AU 2001-91690	20010727
EP 1363628	A2	20031126	EP 2001-971797	20010727
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR			
JP 2004506619	T	20040304	JP 2002-517043	20010727
US 20030171393	A1	20030911	US 2003-333927	20030204
PRIORITY APPLN. INFO.:			IT 2000-MI1847	A 20000808
			WO 2001-EP8733	W 20010727

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:189342

AB Pharmaceuticals containing nitric oxide-donor drugs or inorg. salts of compds. inhibiting phosphodiesterases are useful for the treatment of sexual dysfunction. Thus, a formulation contained 2-(acetyloxy)benzoic acid 6-(nitroxy-methyl)-2-methylpyridyl ester-HCl (NCX 4050) 4.2, white petrolatum 24, Polysorbate-60 4.8, glycerin 9.5, and water 48 g. NCX 4050 showed vasorelaxing activity on the aortas.

IT 398460-41-8
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (drugs for treatment of sexual dysfunction)

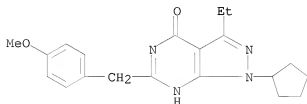
RN 398460-41-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(4-methoxyphenyl)methyl]-, nitrate (1:?) (CA INDEX NAME)

CM 1

CRN 182878-83-7

CMF C20 H24 N4 O2



CM 2

CRN 7697-37-2

CMF H N O3



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
(4 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:107116 CAPLUS

DOCUMENT NUMBER: 136:145267

TITLE: Selective phosphodiesterase 2 inhibitors used as
medicaments for improving cognition

INVENTOR(S): Boss, Frank-Gerhard; Hendrix, Martin; Konig, Gerhard;
Niewohner, Ulrich; Schlemmer, Karl-Heinz; Schreiber,
Rudy; Van Der Staay, Franz-Josef; Schauss, Dagmar
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002009713	A2	20020207	WO 2001-EP8609	20010719
WO 2002009713	A3	20020718		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10122893	A1	20020321	DE 2001-10122893	20010511
CA 2417631	A1	20030129	CA 2001-2417631	20010719
EP 1307201	A2	20030507	EP 2001-969511	20010719
EP 1307201	B1	20041124		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004505054	T	20040219	JP 2002-515266	20010719
ES 2233685	T3	20050616	ES 2001-969511	20010719
US 20020132754	A1	20020919	US 2001-911277	20010723
US 7022709	B2	20060404		

PRIORITY APPLN. INFO.: DE 2000-10037411 A 20000801
DE 2001-10122893 A 20010511
WO 2001-EP8609 W 20010719

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:145267

AB The invention discloses the use of selective phosphodiesterase 2 inhibitors for producing medicaments to improve cognition, powers of concentration, learning capability, and/or memory retention.

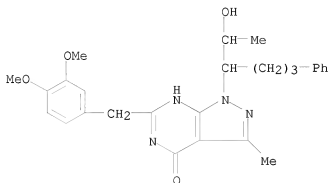
IT 213324-52-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(selective phosphodiesterase 2 inhibitors for improving cognition)

RN 213324-52-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-
phenylbutyl]-3-methyl- (CA INDEX NAME)



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:621218 CAPLUS

DOCUMENT NUMBER: 129:260471

ORIGINAL REFERENCE NO.: 129:53085a, 53088a

TITLE: Preparation of pyrazolo[3,4-d]pyrimidinones as
phosphodiesterase inhibitors

INVENTOR(S): Haning, Helmut; Niewohner, Ulrich; Rosentreter,
Ulrich; Schenke, Thomas; Keldenich, Jorg; Bischoff,
Erwin; Schlemmer, Karl-Heinz; Schutz, Helmuth; Thomas,
Gunter

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: PCT Int. Appl., 115 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

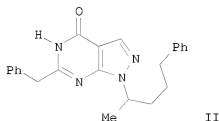
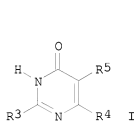
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9840384	A1	19980917	WO 1998-EP1086	19980226
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
DE 19709877	A1	19980917	DE 1997-19709877	19970311
CA 2283211	A1	19980917	CA 1998-2283211	19980226
AU 9868240	A	19980929	AU 1998-68240	19980226
AU 727615	B2	20001214		
EP 973774	A1	20000126	EP 1998-913595	19980226
EP 973774	B1	20030122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

BR 9807995	A	20000308	BR 1998-7995	19980226
NZ 337724	A	20000825	NZ 1998-337724	19980226
HU 2000001805	A2	20001128	HU 2000-1805	19980226
HU 2000001805	A3	20020930		
JP 2001514638	T	20010911	JP 1998-539135	19980226
AT 231509	T	20030215	AT 1998-913595	19980226
ES 2191294	T3	20030901	ES 1998-913595	19980226
RU 2219180	C2	20031220	RU 1999-121518	19980226
CN 1151155	C	20040526	CN 1998-804987	19980226
US 6174884	B1	20010116	US 1999-367538	19990816
MX 9908179	A	20000228	MX 1999-8179	19990906
HK 1028035	A1	20050318	HK 2000-107378	20001117
PRIORITY APPLN. INFO.:			DE 1997-19709877	A 19970311
			WO 1998-EP1086	W 19980226

OTHER SOURCE(S): MARPAT 129:260471
GI



AB Title compds. [I; R3 = EL; E = (hydroxy)alk(en)ylene or CO; R4 = NRCHR1TV; L,V = aryl or heterocyclyl; RR5 = N:CR2 or NHCO; R1 = (un)substituted alkyl or acyl; R2 = H, cyano, alkoxy(carbonyl), etc.; T = CH2XY; X = bond, O, S, NH; Y = alkylene] were prepared. Thus, MeCO(CH2)3Ph was condensed with H2NNHCO2CMe3 and the reduced product cyclocondensed with EtOCH:C(CN)2 to give 5-amino-1-(5-phenyl-2-pentyl)-1H-pyrazole-4-carbonitrile which was cyclocondensed with PhCH2COC1 to give title compound II. Data for biol. activity of I were given.

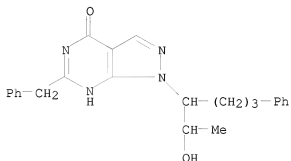
IT 213324-29-9P	213324-30-2P	213324-31-3P
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213324-38-0P	213324-39-1P	213324-40-4P
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213324-44-8P	213324-45-9P	213324-46-0P
213324-47-1P	213324-48-2P	213324-49-3P
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213324-79-9P	213324-80-2P	213324-81-3P
213324-82-4P	213324-83-5P	213324-84-6P
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213324-91-5P	213324-92-6P	213324-93-7P
213324-94-8P	213324-95-9P	213324-96-0P
213324-97-1P	213324-98-2P	213324-99-3P
213325-00-9P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[3,4-d]pyrimidinones as phosphodiesterase inhibitors)

RN 213324-29-9 CAPLUS

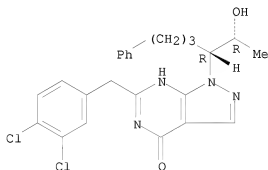
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-6-(phenylmethyl)- (CA INDEX NAME)



RN 213324-30-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dichlorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

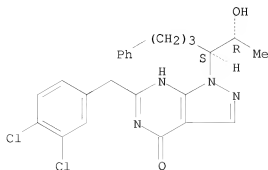
Relative stereochemistry.



RN 213324-31-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dichlorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

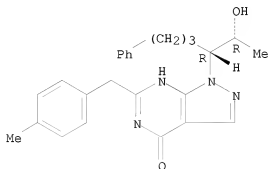
Relative stereochemistry.



RN 213324-32-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-6-[(4-methylphenyl)methyl]-, rel- (CA INDEX NAME)

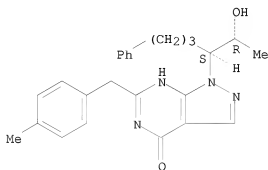
Relative stereochemistry.



RN 213324-33-5 CAPLUS

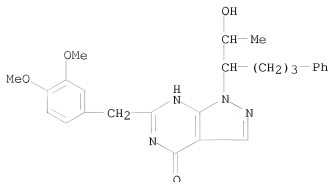
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-6-[(4-methylphenyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 213324-34-6 CAPLUS

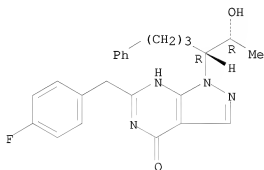
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]- (CA INDEX NAME)



RN 213324-35-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(4-fluorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

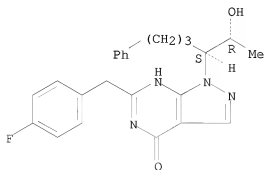
Relative stereochemistry.



RN 213324-36-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(4-fluorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

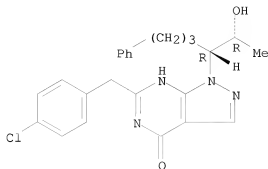
Relative stereochemistry.



RN 213324-37-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(4-chlorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

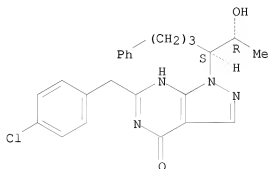
Relative stereochemistry.



RN 213324-38-0 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(4-chlorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

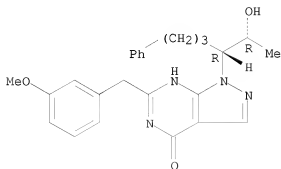
Relative stereochemistry.



RN 213324-39-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-6-[(3-methoxyphenyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

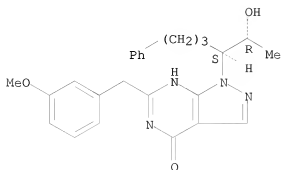


RN 213324-40-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-6-[(3-

methoxyphenyl)methyl]-, rel- (CA INDEX NAME)

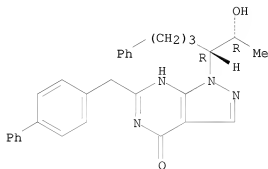
Relative stereochemistry.



RN 213324-41-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-([1,1'-biphenyl]-4-ylmethyl)-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-
4-phenylbutyl]-, rel- (CA INDEX NAME)

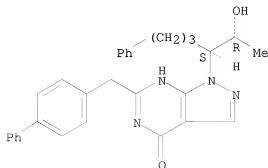
Relative stereochemistry.



RN 213324-42-6 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-([1,1'-biphenyl]-4-ylmethyl)-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-
4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

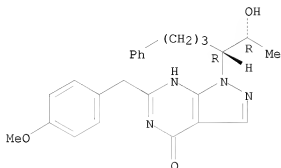


RN 213324-43-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,

1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-6-[(4-methoxyphenyl)methyl]-, rel- (CA INDEX NAME)

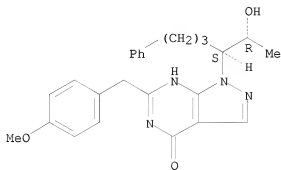
Relative stereochemistry.



RN 213324-44-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-6-[(4-methoxyphenyl)methyl]-, rel- (CA INDEX NAME)

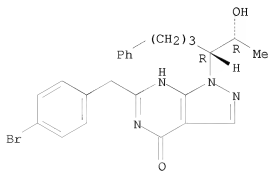
Relative stereochemistry.



RN 213324-45-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(4-bromophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

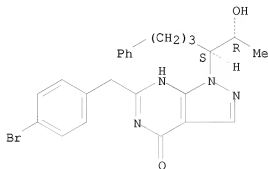
Relative stereochemistry.



RN 213324-46-0 CAPLUS

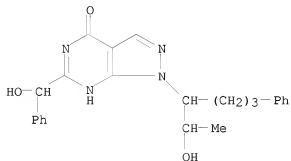
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(4-bromophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 213324-47-1 CAPLUS

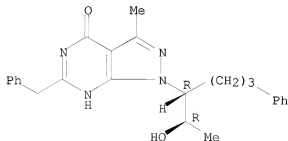
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-6-(hydroxyphenylmethyl)-
(CA INDEX NAME)



RN 213324-48-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-3-methyl-6-
(phenylmethyl)-, rel- (CA INDEX NAME)

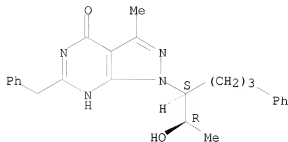
Relative stereochemistry.



RN 213324-49-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-3-methyl-6-
(phenylmethyl)-, rel- (CA INDEX NAME)

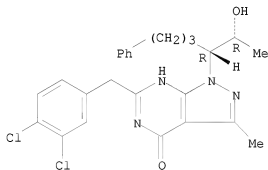
Relative stereochemistry.



RN 213324-50-6 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dichlorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-
4-phenylbutyl]-3-methyl-, rel- (CA INDEX NAME)

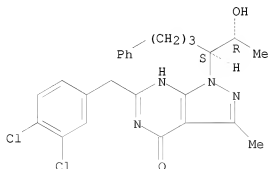
Relative stereochemistry.



RN 213324-51-7 CAPLUS

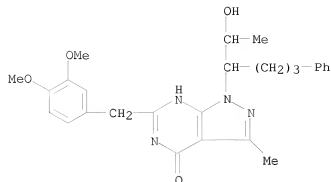
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dichlorophenyl)methyl]-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-
4-phenylbutyl]-3-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

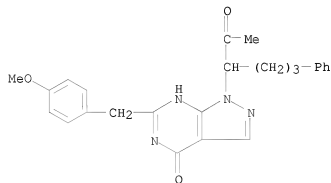


RN 213324-52-8 CAPLUS

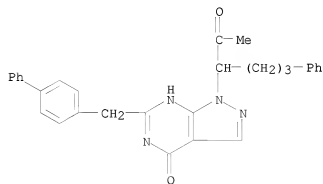
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-
phenylbutyl]-3-methyl- (CA INDEX NAME)



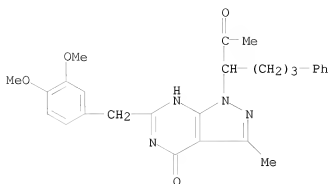
RN 213324-53-9 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-(1-(4-methoxyphenyl)butyl)-1,5-dihydro-6-[(4-methoxyphenyl)methyl]- (CA
 INDEX NAME)



RN 213324-54-0 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-(1-acetyl-4-phenylbutyl)-6-[(1,1'-biphenyl)-4-ylmethyl]-1,5-dihydro-
 (CA INDEX NAME)

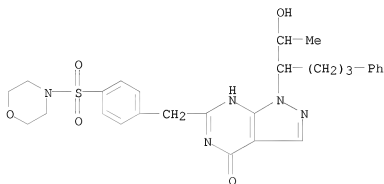


RN 213324-55-1 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-(1-(3,4-dimethoxyphenyl)butyl)-6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-3-
 methyl- (CA INDEX NAME)



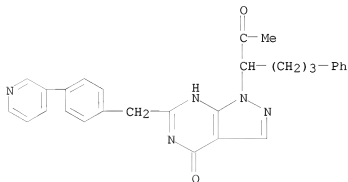
RN 213324-56-2 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-6-[[4-(4-
morpholinylsulfonyl)phenyl]methyl]- (CA INDEX NAME)



RN 213324-57-3 CAPLUS

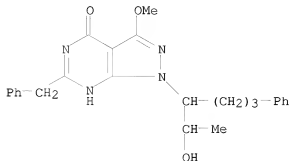
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-(1-acetyl-4-phenylbutyl)-1,5-dihydro-6-[[4-(3-pyridinyl)phenyl]methyl]-
(CA INDEX NAME)



RN 213324-61-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-3-methoxy-6-
[[4-(3-pyridinyl)phenyl]methyl]- (CA INDEX NAME)

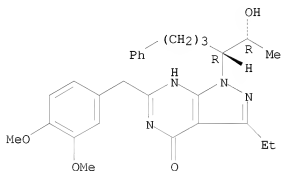
(phenylmethyl)- (CA INDEX NAME)



RN 213324-65-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dimethoxyphenyl)methyl]-3-ethyl-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

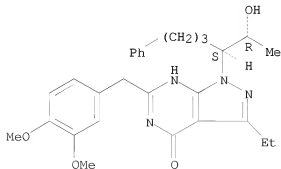
Relative stereochemistry.



RN 213324-66-4 CAPLUS

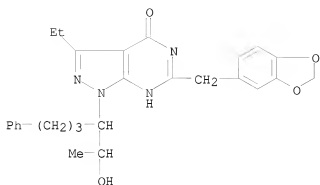
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dimethoxyphenyl)methyl]-3-ethyl-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



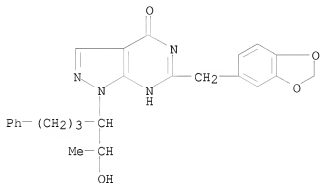
RN 213324-67-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-(1,3-benzodioxol-5-ylmethyl)-3-ethyl-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]- (CA INDEX NAME)



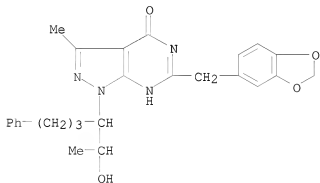
RN 213324-68-6 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-(1,3-benzodioxol-5-ylmethyl)-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]- (CA INDEX NAME)



RN 213324-69-7 CAPLUS

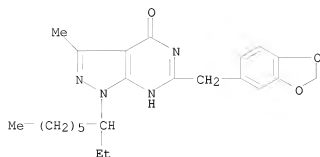
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-(1,3-benzodioxol-5-ylmethyl)-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-phenylbutyl]-3-methyl- (CA INDEX NAME)



RN 213324-72-2 CAPLUS

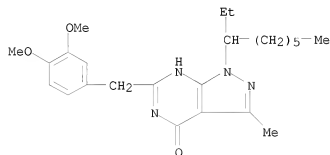
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-(1,3-benzodioxol-5-ylmethyl)-1-(1-ethylheptyl)-1,5-dihydro-3-methyl-

(CA INDEX NAME)



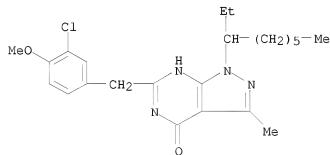
RN 213324-73-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dimethoxyphenyl)methyl]-1-(1-ethylheptyl)-1,5-dihydro-3-methyl-
(CA INDEX NAME)



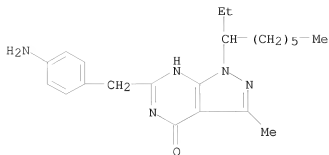
RN 213324-74-4 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3-chloro-4-methoxyphenyl)methyl]-1-(1-ethylheptyl)-1,5-dihydro-3-
methyl- (CA INDEX NAME)



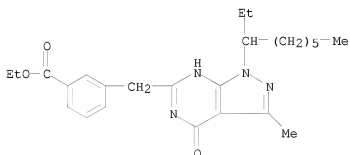
RN 213324-75-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(4-aminophenyl)methyl]-1-(1-ethylheptyl)-1,5-dihydro-3-methyl- (CA
INDEX NAME)



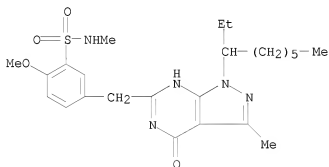
RN 213324-76-6 CAPLUS

CN Benzoic acid, 3-[[1-[(1-ethylheptyl)-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]-, ethyl ester (CA INDEX NAME)



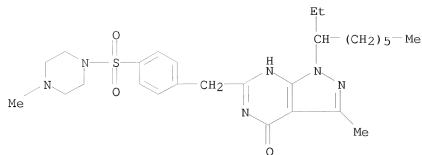
RN 213324-77-7 CAPLUS

CN Benzenesulfonamide, 5-[[1-[(1-ethylheptyl)-4,5-dihydro-3-methyl-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl]methyl]-2-methoxy-N-methyl- (CA INDEX NAME)

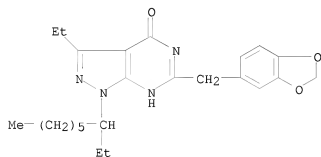


RN 213324-78-8 CAPLUS

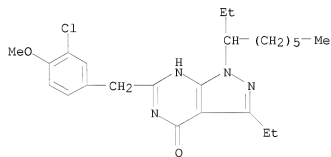
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-[(1-ethylheptyl)-1,5-dihydro-3-methyl-6-[[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]methyl]- (CA INDEX NAME)



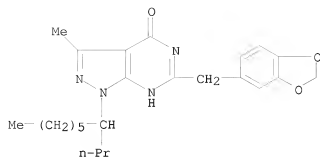
RN 213324-79-9 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-(1,3-benzodioxol-5-ylmethyl)-3-ethyl-1-(1-ethylheptyl)-1,5-dihydro- (CA
 INDEX NAME)



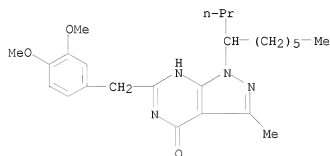
RN 213324-80-2 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-[(3-chloro-4-methoxyphenyl)methyl]-3-ethyl-1-(1-ethylheptyl)-1,5-dihydro-
 (CA INDEX NAME)



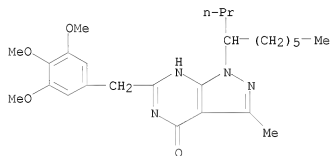
RN 213324-81-3 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-(1,3-benzodioxol-5-ylmethyl)-1,5-dihydro-3-methyl-1-(1-propylheptyl)-
 (CA INDEX NAME)



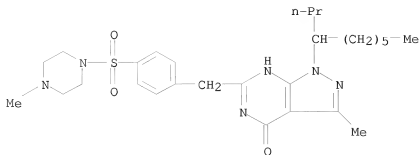
RN 213324-82-4 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-3-methyl-1-(1-propylheptyl)-
(CA INDEX NAME)



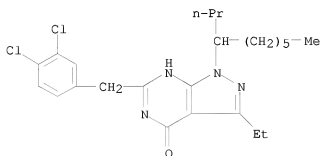
RN 213324-83-5 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-3-methyl-1-(1-propylheptyl)-6-[(3,4,5-trimethoxyphenyl)methyl]-
(CA INDEX NAME)



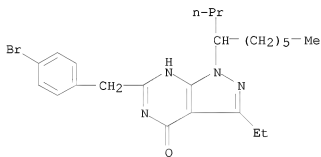
RN 213324-84-6 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1,5-dihydro-3-methyl-6-[[4-[(4-methyl-1-
piperazinyl)sulfonyl]phenyl]methyl]-1-(1-propylheptyl)- (CA INDEX NAME)



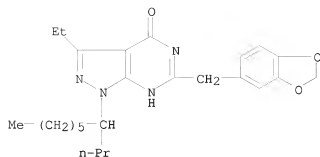
RN 213324-85-7 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(3,4-dichlorophenyl)methyl]-3-ethyl-1,5-dihydro-1-(1-propylheptyl)-
(CA INDEX NAME)



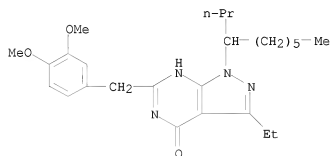
RN 213324-86-8 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(4-bromophenyl)methyl]-3-ethyl-1,5-dihydro-1-(1-propylheptyl)- (CA
INDEX NAME)



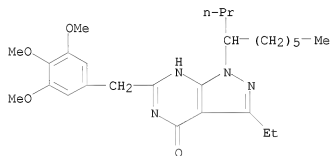
RN 213324-87-9 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-(1,3-benzodioxol-5-ylmethyl)-3-ethyl-1,5-dihydro-1-(1-propylheptyl)-
(CA INDEX NAME)



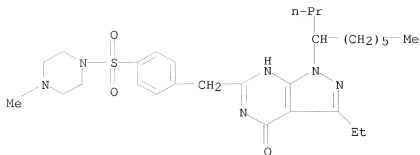
RN 213324-88-0 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-[(3,4-dimethoxyphenyl)methyl]-3-ethyl-1,5-dihydro-1-(1-propylheptyl)-
 (CA INDEX NAME)



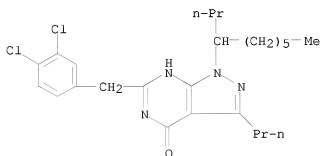
RN 213324-89-1 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 3-ethyl-1,5-dihydro-1-(1-propylheptyl)-6-[(3,4,5-trimethoxyphenyl)methyl]-
 (CA INDEX NAME)



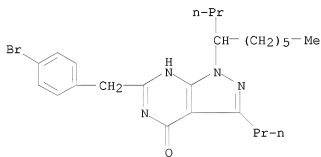
RN 213324-90-4 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 3-ethyl-1,5-dihydro-6-[[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl]methyl]-
 1-(1-propylheptyl)- (CA INDEX NAME)



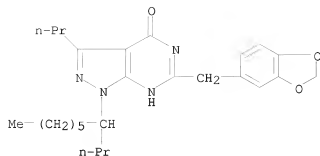
RN 213324-91-5 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-[(3,4-dichlorophenyl)methyl]-1,5-dihydro-3-propyl-1-(1-propylheptyl)-
 (CA INDEX NAME)



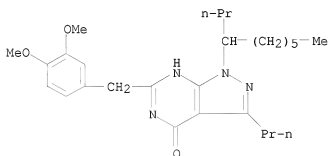
RN 213324-92-6 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-[(4-bromophenyl)methyl]-1,5-dihydro-3-propyl-1-(1-propylheptyl)- (CA
 INDEX NAME)



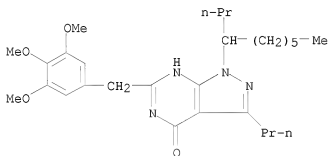
RN 213324-93-7 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-(1,3-benzodioxol-5-ylmethyl)-1,5-dihydro-3-propyl-1-(1-propylheptyl)-
 (CA INDEX NAME)



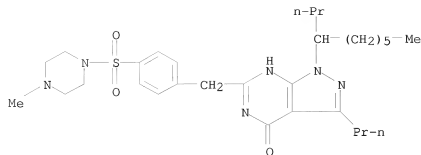
RN 213324-94-8 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-[(3,4-dimethoxyphenyl)methyl]-1,5-dihydro-3-propyl-1-(1-propylheptyl)-
 (CA INDEX NAME)



RN 213324-95-9 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1,5-dihydro-3-propyl-1-(1-propylheptyl)-6-[(3,4,5-trimethoxyphenyl)methyl]-
 (CA INDEX NAME)

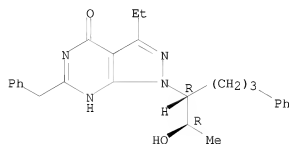


RN 213324-96-0 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1,5-dihydro-6-[[4-[(4-methyl-1-piperazinyl)sulfonyl]phenyl)methyl]-3-propyl-1-(1-propylheptyl)-
 (CA INDEX NAME)



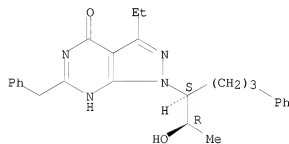
RN 213324-97-1 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 3-ethyl-1,5-dihydro-1-[(1R)-1-[(1R)-1-hydroxyethyl]-4-phenylbutyl]-6-
 (phenylmethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.

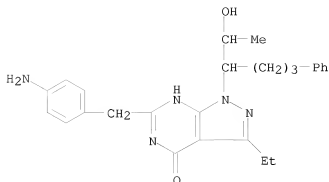


RN 213324-98-2 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 3-ethyl-1,5-dihydro-1-[(1R)-1-[(1S)-1-hydroxyethyl]-4-phenylbutyl]-6-
 (phenylmethyl)-, rel- (CA INDEX NAME)

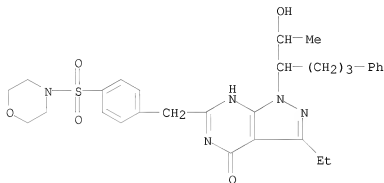
Relative stereochemistry.



RN 213324-99-3 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-[(4-aminophenyl)methyl]-3-ethyl-1,5-dihydro-1-[1-(1-hydroxyethyl)-4-
 phenylbutyl]- (CA INDEX NAME)



RN 213325-00-9 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 3-ethyl-1,5-dihydro-1-[1-[(1-hydroxyethyl)-4-phenylbutyl]-6-[[4-(4-morpholinylsulfonyl)phenyl]methyl]- (CA INDEX NAME)

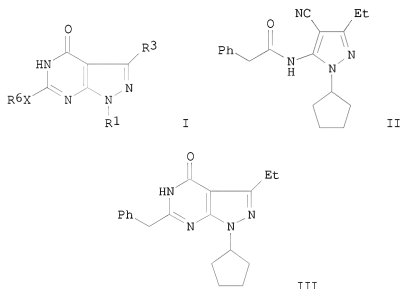


OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD
 (7 CITINGS)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1996:664939 CAPLUS
 DOCUMENT NUMBER: 125:301016
 ORIGINAL REFERENCE NO.: 125:56346h, 56347a
 TITLE: 6-Substituted pyrazolo[3,4-d]pyrimidin-4-ones and
 compositions and methods of use as c-GMP
 phosphodiesterase inhibitors
 INVENTOR(S): Bacon, Edward R.; Daum, Sol J.; Singh, Baldev
 PATENT ASSIGNEE(S): Sanofi Winthrop, Inc., USA
 SOURCE: PCT Int. Appl., 57 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628429	A1	19960919	WO 1996-US2971	19960305
W: AU, CA, CN, CZ, HU, JP, KR, MX, NO, NZ, PL, RU				

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
 US 5656629 A 19970812 US 1995-402268 19950310
 CA 2211669 A1 19960919 CA 1996-2211669 19960305
 AU 9654188 A 19961002 AU 1996-54188 19960305
 AU 708750 B2 19990812
 EP 813527 A1 19971229 EP 1996-911244 19960305
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 CN 1177960 A 19980401 CN 1996-192462 19960305
 HU 9801336 A2 19981028 HU 1998-1336 19960305
 HU 9801336 A3 20000728
 JP 11501923 T 19990216 JP 1996-527681 19960305
 ZA 9601947 A 19961007 ZA 1996-1947 19960311
 US 5977118 A 19991102 US 1997-824600 19970326
 NO 9704151 A 19971104 NO 1997-4151 19970909
 PRIORITY APPLN. INFO.: US 1995-402268 A 19950310
 WO 1996-US2971 W 19960305
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): MARPAT 125:301016
 GI



AB 6-Substituted pyrazolo[3,4-d]pyrimidin-4-one derivs. I [R¹ = tert-Bu, cyclopentyl; R³ = Me, Et, PhCH₂; X = CH₂, O, NH; R⁶ = (un)substituted Ph, or (when X = CH₂) OH or certain specified heterocyclic radicals] and their pharmaceutically acceptable salts or hydrates are claimed. Also claimed are pharmaceutical compns. containing them, and methods for their use in: (a) effecting c-GMP-phosphodiesterase inhibition, (b) treating heart failure and/or hypertension, (c) reversing or reducing nitrate-induced tolerance, and (d) treating angina pectoris, congestive heart disease, and myocardial infarction. Examples include 39 syntheses and 3 bioassays. For instance, 1-cyclopentyl-3-ethyl-5-amino-1H-pyrazole-4-carbonitrile underwent amidation with PhCH₂COCN in pyridine to give 64% intermediate II, which underwent H₂O₂-mediated hydrolysis and cyclization in aqueous NaOH to give title compound III. At 1 mg/kg i.v. in spontaneously hypertensive rats, III gave a 15% redn in mean arterial pressure in 5 min. III had an IC₅₀ of 10 nM for inhibition of c-GMP phosphodiesterase V in vitro.

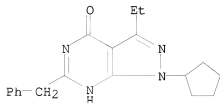
IT 182878-78-OP 182878-83-7P 182878-84-8P
 182879-09-OP 182879-25-OP 182879-27-2P

182879-30-7P 182879-56-7P 182879-58-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted pyrazolopyrimidinones as c-GMP phosphodiesterase inhibitors)

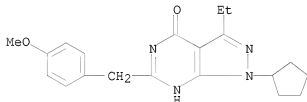
RN 182878-78-0 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-3-ethyl-1,5-dihydro-6-(phenylmethyl)- (CA INDEX NAME)



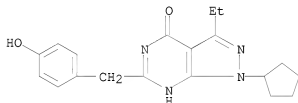
RN 182878-83-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)



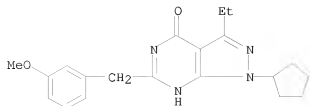
RN 182878-84-8 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(4-hydroxyphenyl)methyl]- (CA INDEX NAME)

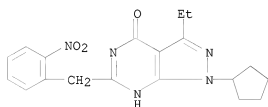


RN 182879-09-0 CAPLUS

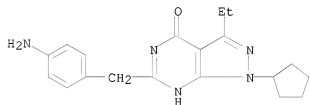
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(3-methoxyphenyl)methyl]- (CA INDEX NAME)



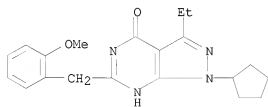
RN 182879-25-0 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-3-ethyl-6-[(2-nitrophenyl)methyl]- (CA INDEX
 NAME)



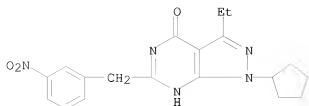
RN 182879-27-2 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-[(4-aminophenyl)methyl]-1-cyclopentyl-3-ethyl-1,5-dihydro- (CA INDEX
 NAME)



RN 182879-30-7 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-3-ethyl-6-[(2-methoxyphenyl)methyl]- (CA INDEX
 NAME)

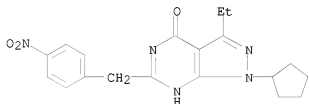


RN 182879-56-7 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-3-ethyl-6-[(3-nitrophenyl)methyl]- (CA INDEX
 NAME)



RN 182879-58-9 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-3-ethyl-6-[(4-nitrophenyl)methyl]- (CA INDEX NAME)

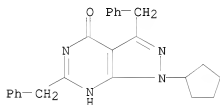


IT	182878-79-1P	182878-80-4P	182878-85-9P
	182878-88-2P	182878-90-6P	182878-96-2P
	182879-11-4P	182879-15-8P	182879-23-8P
	182879-34-1P	182879-36-3P	182879-42-1P
	182879-44-3P	182879-46-5P	182879-48-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyrazolopyrimidinones as c-GMP phosphodiesterase inhibitors)

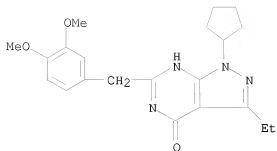
RN 182878-79-1 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-1,5-dihydro-3,6-bis(phenylmethyl)- (CA INDEX NAME)

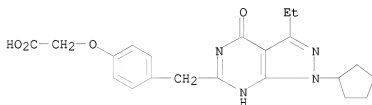


RN 182878-80-4 CAPLUS

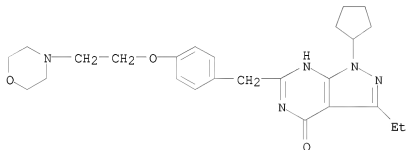
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-6-[(3,4-dimethoxyphenyl)methyl]-3-ethyl-1,5-dihydro- (CA INDEX NAME)



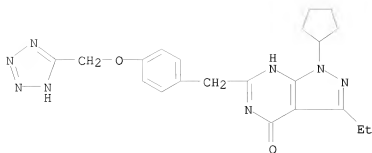
RN 182878-85-9 CAPLUS
 CN Acetic acid, 2-[4-[(1-cyclopentyl-3-ethyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenoxy]- (CA INDEX NAME)



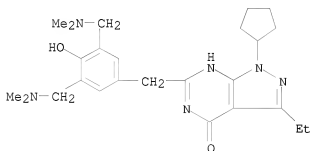
RN 182878-88-2 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[[4-[2-(4-morpholinyl)ethoxy]phenyl)methyl]- (CA INDEX NAME)



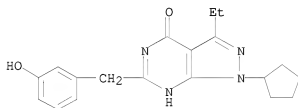
RN 182878-90-6 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one, 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[[4-(2H-tetrazol-5-ylmethoxy)phenyl)methyl]- (CA INDEX NAME)



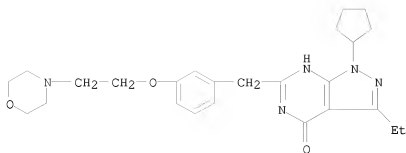
RN 182878-96-2 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-[[3,5-bis[(dimethylamino)methyl]-4-hydroxyphenyl)methyl]-1-cyclopentyl-3-ethyl-1,5-dihydro- (CA INDEX NAME)



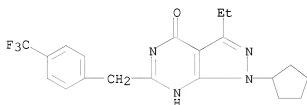
RN 182879-11-4 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(3-hydroxyphenyl)methyl]- (CA INDEX NAME)



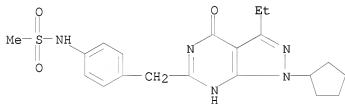
RN 182879-15-8 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[[3-[2-(4-morpholinyl)ethoxy]phenyl)methyl]- (CA INDEX NAME)



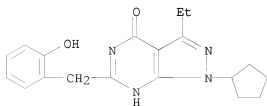
RN 182879-23-8 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[[4-(trifluoromethyl)phenyl)methyl]-
 (CA INDEX NAME)



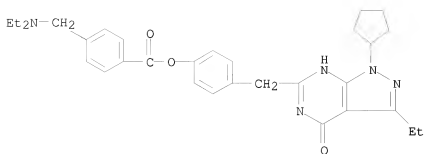
RN 182879-34-1 CAPLUS
 CN Methanesulfonamide, N-[4-[(1-cyclopentyl-3-ethyl-4,5-dihydro-4-oxo-1H-
 pyrazolo[3,4-d]pyrimidin-6-yl)methyl]phenyl]- (CA INDEX NAME)



RN 182879-36-3 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-3-ethyl-1,5-dihydro-6-[(2-hydroxyphenyl)methyl]- (CA INDEX
 NAME)

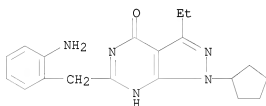


RN 182879-42-1 CAPLUS
 CN Benzoic acid, 4-[(diethylamino)methyl]-,
 4-[(1-cyclopentyl-3-ethyl-4,5-dihydro-4-oxo-1H-pyrazolo[3,4-d]pyrimidin-6-
 yl)methyl]phenyl ester (CA INDEX NAME)



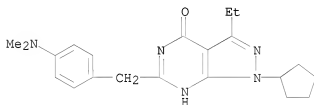
RN 182879-44-3 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
6-[(2-aminophenyl)methyl]-1-cyclopentyl-3-ethyl-1,5-dihydro- (CA INDEX
NAME)



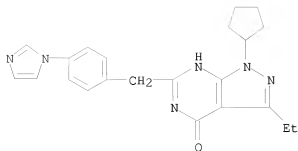
RN 182879-46-5 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-6-[[4-(dimethylamino)phenyl]methyl]-3-ethyl-1,5-dihydro-
(CA INDEX NAME)



RN 182879-48-7 CAPLUS

CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-cyclopentyl-3-ethyl-6-[[4-(1H-imidazol-1-yl)phenyl]methyl]-
(CA INDEX NAME)



OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD
(8 CITINGS)
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1964:16883 CAPLUS

DOCUMENT NUMBER: 60:16883

ORIGINAL REFERENCE NO.: 60:2981a-e

TITLE: 4-Hydroxypyrazolo[3,4-d]pyrimidines

INVENTOR(S): Schmidt, Paul; Eichenberger, Kurt; Wilhelm, Max

PATENT ASSIGNEE(S): CIBA Ltd.

SOURCE: 10 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 1153023		19630822	DE	
CH 396925			CH	
GB 937724			GB	
			CH	19600511

PRIORITY APPLN. INFO.:

GI For diagram(s), see printed CA Issue.

AB 1-R1, 3-R2, 6-R3-substituted 4-hydroxy pyrazolo[3,4-d]pyrimidines (R1 = H, alkyl, hydroxyalkyl, or oxaalkyl; R2 = H or low-mol.-weight alkyl; R3 = eventually substituted phenylalkyl or diphenylalkyl radicals) are prepared Thus, 19.7 g. 2-isopropyl-3-amino-4-carbethoxypyrazole and 45 g. β -phenylpropionitrile in 30 cc. absolute PhMe are added to 4.6 g. powdered Na in 85 cc. absolute PhMe at 90-5° with stirring, the mixture stirred 5 hrs. at 90-5°, 50 cc. alc. added, the solution evaporated to dryness, the residue extracted with N NaOH and PhMe, and the alkaline solution neutralized with 6N

HCl to precipitate 8.7 g. 1-isopropyl-4-hydroxy-(6-R-substituted)-pyrazolo[3,4-d]pyrimidine(I) (R = β -phenylethyl), m. 124-5° (alc.).

Similarly prepared are the following I (R and m.p. given): m-hydroxybenzyl, 226-7° (alc.); p-chlorobenzyl (II), 181-2° (alc.);

3,4,5-trimethoxy-phenylmethyl, 195-6° (alc.); p-ethoxybenzyl,

175-6° (alc.); m-methoxybenzyl, 155-8° (alc.);

o-methoxybenzyl, 157-9° (EtOH); 2-methyl-3-methoxybenzyl,

150-1° (EtOH); diphenylmethyl, 226-7° (EtOH);

α -phenylpropyl, 142-3° (alc.). Also prepared are the following

1-methyl-4-hydroxy-(6-R-substituted)-pyrazolo[3,4-d]pyrimidines (R and

m.p. given): benzyl, 236-7° (EtOH); 3,4,5-trimethoxyphenylmethyl,

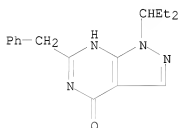
245° (CHCl₃-petr. ether); p-chlorobenzyl, 268-70°

(HCONMe₂-H₂O); 2,3-dimethoxyphenylmethyl, 190-1° (alc.). The

following (1-R-substituted)-4-hydroxy-6-benzylpyrazolo[3,4-d]pyrimidines

are prepared (R and m.p. given): sec-butyl, 154-5° (alc.); pent-3-yl, 144-5° (absolute alc.); β-hydroxyethyl, 194-5° (alc.); 1-ethoxybut-3-yl, 111-12° (MeOH-H2O); H, 290-2° (EtOH); 3-methylbut-2-yl, 157-8° (EtOH). Also prepared are these starting materials: 2-(β-hydroxyethyl)-3-amino-4-carbethoxypyrazole, b0.6 180°, m. 89-91°; 2-[1-ethoxybut-3-yl]-3-amino-4-carbethoxypyrazole, b0.1 120-5°; 2-isopropyl-3-(α-ethoxy-β-(p-chlorophenyl)ethylidenamino)pyrazole-4-carboxamide; 2-isopropyl-3-(p-chlorophenylacetamido)-4-carboxypyrazole; 1-isopropyl-4-oxo-6-(p-chlorobenzyl)pyrazolo[3,4-d]oxazine; 2-isopropyl-3-(p-chlorophenylacetamido)-4-pyrazolecarbonitrile.

IT 93/26-16-0P, 1H-Pyrazolo[3,4-d]pyrimidin-4-ol,
6-benzyl-1-(1-ethylpropyl)-
RL: PREP (Preparation)
(preparation of)
RN 93/26-16-0 CAPLUS
CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
1-(1-ethylpropyl)-1,5-dihydro-6-(phenylmethyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1962:483251 CAPLUS

DOCUMENT NUMBER: 57:83251

ORIGINAL REFERENCE NO.: 57:16611d-i,16612a-e

TITLE: Chemotherapeutic studies in the heterocyclic series.
XXXIV. Pyrazolopyrimidines. 5. A new synthesis of
pyrazolo[3,4-d]pyrimidine with coronary dilating
properties

AUTHOR(S): Schmidt, P.; Eichenberger, K.; Wilhelm, M.

CORPORATE SOURCE: Ciba, Basel, Switz.

SOURCE: Helvetica Chimica Acta (1962), 45, 1620-7

CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: German

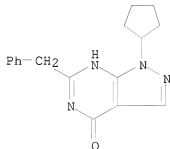
OTHER SOURCE(S): CASREACT 57:83251

AB cf. CA 53, 20070d. The condensation of 3-amino-4-carbethoxypyrazoles with
nitriles led to a new synthesis of 6-(C-substituted)
pyrazolo[3,4-d]pyrimidines (I) and 6-aminopyrazolo[3,4-b]pyridines. The I
could be cleaved with H3PO4 to 3-aminopyrazole-4-carboxamide derivs. Many
of the new I caused an increase of coronary flow.
2-Isopropyl-3-amino-4-carbethoxypyrazole (II) (19.7 g.) in 250 cc. 2N NaOH
refluxed 2 hrs., cooled, treated with C, and acidified with concentrated HCl to
pH 3-4 gave 14.5 g. 4-CO2H analog (III) of II, m. 151-2°
(decomposition). III (84.5 g.) in 375 cc. dioxane and 40 cc. C5H5N treated
dropwise with stirring at 10-15° with 77.3 g. PhCH2COCl in 125 cc.
dry dioxane, stirred 1 hr. at 10° and 2 hrs. at room temperature, diluted
with H2O and aqueous HCl, and extracted with Et2O gave 53 g.

2-isopropyl-3-phenylacetyl-amino-4-carboxypyrazole (IV), m. 162-3°. IV (8.61 g.) and 30 cc. Ac2O stirred 3 hrs. at 100-10° and evaporated yielded 3.1 g. 1-isopropyl-4-oxo-6-benzylpyrazolo[3,4-d]oxazine (V), m. 162-3° (Me2CO-petr. ether). III (30 g.) in 180 cc. dry dioxane and 16 cc. C5H5N treated dropwise with stirring at 10-15° with 31 g. PhCH2COC1 in 50 cc. dioxane and processed in the usual manner gave 21 g. 4-CN analog (VI) of IV, m. 140-2° (EtOH). PhCH2CN (26.3 g.) in 250 cc. CHCl3 and 13 cc. absolute EtOH saturated with dry HCl, kept overnight, evaporated below 30°, the residue dissolved in 200 cc. CHCl3, treated with 16.9 g. 2-isopropyl-3-amino-4-carbamoylpyrazole (VII) in 1800 cc. CHCl3, refluxed 10 hrs. with stirring, filtered, and evaporated yielded 2-isopropyl-3-(1-ethoxy-2-phenylethylidenimino)-pyrazole-4-carboxamide (VIII), m. 111-14° (Et2O). II (70 g.) and 140 g. PhCH2CN added during 1 hr. with stirring at 90-5° to 16.5 g. powdered Na in 300 cc. dry MePh, refluxed 7 hrs. with stirring, diluted with 240 cc. absolute EtOH, evaporated, the residue dissolved in 1.2 l. N NaOH, washed with MePh, and acidified with 5N HCl to pH 5-6 gave 62.4 g. 1-isopropyl-4-oxo-6-benzyl-4,5-dihydropyrazolo [3,4 - d]pyrimidine (IX), m. 164-6° (absolute EtOH); the alc. mother liquor concentrated, filtered, the residue (8.1 g.) shaken 0.5 hr. with 81 cc. CH2Cl2, and filtered left 4.77 g. 2-isopropyl-4-hydroxy-5-phenyl-6-aminopyrazolo[3,4-b]pyridine (X), m. 256-7° (EtOH); the CH2Cl2 filtrate evaporated gave 1.9 g. IX. Similarly were prepared the following 1,6-disubstituted-4-oxo-4,5-dihydropyrazolo[3,4-d]pyrimidines (1- and 6-substituent and m.p. given): Me, PhCH2, 233-7°; Me, p-ClC6H4CH2, 268-70°; Me, 3,4,5-(MeO)3C6H2CH2, 245-6°; HOCH2CH2, PhCH2, 194-5°; iso-Pr, Me, 180-2°; iso-Pr, Ph, 256-8°; iso-Pr, PhCH2, 165-6°; iso-Pr, p-EOC6H4CH2, 175-6°; cyclopentyl, PhCH2, 189-90°; cyclohexyl, PhCH2, 207-8°; Ph, PhCH2 (XIII), 263-5°. V (5.4 g.), 50 cc. C6H6, and 15 cc. liquid NH3 in a sealed tube heated 8 hrs. at 100-10°, treated with 2N NaOH, and the aqueous phase acidified with 6N HCl to pH 6 gave 0.7 g. IX. VI (6.7g.) and 27.2 cc. 10% aqueous KOH in 102 cc. 3% H2O2 heated 10 hrs. at 70°, filtered, and acidified with 2N HCl to pH 5 yielded 6.12 g. IX, m. 163-5°. Crude VIII from 26.3 g. PhCH2CN and 16.9 g. VII added to 18 g. Na in 315 cc. MeOH, kept overnight, refluxed 0.5 hr., filtered, evaporated, the residue shaken with 200 cc. H2O and 200 cc. CHCl3, and the aqueous phase acidified with 5N HCl gave 16.6 g. IX. VII (8.4 g.) and 27 g. PhCH2CONH2 heated 4 hrs. at 200-10°, cooled, powdered, extracted with 2N NaOH, and the alkaline extract acidified with 2N HCl to pH 3 yielded 3.2 g. IX, m. 165-6° (EtOH). II (39.4 g.) in 150 cc. dry dioxane and 16 cc. C5H5N treated with stirring at 10-15° during 15 min. with 31 g. PhCH2COC1 in 50 cc. dioxane, stirred 1 hr. at 10° and 2 hrs. at room temperature, treated with 130 cc. 2N HCl and 380 cc. H2O, and extracted with about 1000 cc. Et2O yielded 33 g. 2-isopropyl-3-phenylacetyl-amino-4-carbomethoxypyrazole (XIV), b0.08 170-5°. NaNO2 (7 g.) and 26.8 g. X added successively with stirring at 0-5° to 268 cc. concentrated H2SO4, stirred 3 hrs. at 0-5°, cooled, poured onto ice, heated with stirring to 80°, cooled, filtered, the residue (about 20 g.) treated with 400 cc. saturated aqueous NaHCO3 and 400 cc. H2O, filtered, and the filtrate acidified with 2N HCl to pH 3-4 yielded 16.8 g. 1-isopropyl-4-hydroxy-5-phenyl-6-oxo-4,5-dihydropyrazolo[3,4-b]pyridine (XV), m. 322-4° (EtOH). XIV (10 g.) and 2 g. Na in 150 cc. MePh refluxed 5 hrs. with stirring, cooled to room temperature, treated with EtOH, evaporated, the residue dissolved in H2O, washed with Et2O, and acidified with 2N HCl gave 2.3 g. XV, m. 322-4° (aqueous EtOH). XIII (15 g.) and 100 cc. POC13 refluxed 6 hrs., evaporated, the residue dissolved in CHCl3, and worked up gave 7.2 g. 1-phenyl-4-chloro-6-benzylpyrazolo[3,4-d]pyrimidine

(XVI), m. 90-1° (CHCl3-petr. ether). XVI (7 g.) and 25 g. Me2NH in 50 cc. EtOH heated 7 hrs. at 100° in an autoclave gave 4.3 g. 4-Me2N analog of XVI, m. 121-2° (EtOH). IX (13.4 g.) and 1.15 g. Na in 300 cc. EtOH stirred 1 hr. at room temperature, treated with 5.5 g. Me2NCH2CH2Cl, refluxed 4 hrs., evaporated, the residue dissolved in 100 cc. N HCl, washed with Et2O, basified to pH 10 with aqueous NaOH, and extracted with Et2O yielded 13 g. 5-Me2NCH2CH2 derivative (XVII) of IX, m. 115-17° (petr. ether). XVII (10 g.) and 35 cc. 85% H3PO4 stirred 6 hrs. at 100°, poured onto 300 g. ice, adjusted with aqueous NaOH to pH 10, filtered, and extracted with CHCl3 gave 6 g. 2-isopropyl-3-aminopyrazole-4-carboxylic acid 2-dimethylaminoethylamide, m. 131-2° (iso-Pr2O).

IT 97433-46-0P, 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 6-benzyl-1-cyclopentyl-1,5-dihydro-
 RL: PREP (Preparation)
 (preparation of)
 RN 97433-46-0 CAPLUS
 CN 4H-Pyrazolo[3,4-d]pyrimidin-4-one,
 1-cyclopentyl-1,5-dihydro-6-(phenylmethyl)- (CA INDEX NAME)



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